



Europäisches Patentamt
European Patent Office
Office européen des brevets



(11) Publication number:

0 526 877 A3

(12)

EUROPEAN PATENT APPLICATION

(21) Application number: 92113284.1

(31) Int. Cl.⁵: C07D 207/16, C07D 211/76,
A61K 37/64, C07D 401/06,
C07D 403/06, C07D 409/06,
C07D 417/06, C07K 5/06,
C07K 5/08, A61K 31/40

(30) Priority: 06.08.91 US 741023

(43) Date of publication of application:
10.02.93 Bulletin 93/06

(84) Designated Contracting States:
AT BE CH DE DK ES FR GB GR IE IT LI LU MC
NL PT SE

(88) Date of deferred publication of the search report:
07.04.93 Bulletin 93/14

(71) Applicant: Bristol-Myers Squibb Company
345 Park Avenue
New York, N.Y. 10154(US)

(72) Inventor: Balasubramanian, Neelakantan
41 Miller Road no 16
Bristol, Connecticut 06010(US)
Inventor: St. Laurent, Denis R.
334 Cedar Street
Newington, Connecticut 06111(US)

(74) Representative: Kinzebach, Werner, Dr. et al
Patentanwälte Reitstötter, Kinzebach und
Partner Sternwartstrasse 4 Postfach 86 06 49
W-8000 München 86 (DE)

(54) Peptide aldehydes as antithrombotic agents.

(57) This invention relates to novel arginine aldehydes, their salts and hydrates, which compounds selectively exhibit serine proteases inhibitory activity, are highly stable in aqueous solutions, and are useful for anti-trypsin and anti-thrombin activity.

EP 0 526 877 A3

INCOMPLETE SEARCH

Claims searched completely : 2,5
Claims searched incompletely : 1,3,6-10
Claim not searched : 4

LACK OF CONCISENESS

The substituent R6 is not defined in the following claims:
1,3,6-10.

The definition of the following substituent(s) is too general and/or encompasses too broad a range of totally different chemical groups, only partly supported by examples given in the description part of the application:

R3, R4, R5, R6 (see above), X, Y, m, n

The number of theoretically conceivable compounds resulting from the combination of all claimed substituents of above list precludes a comprehensive search. Guided by the spirit of the application and the inventive concept as disclosed in the descriptive part of the present application the search has been limited to the following case(s):

n=1, R7=CHO, R5 and R3/R4 forming a pyrrolidine ring (PRO),
X=CO,SO2, Y=CH-CH, R6= a cyclic substituent (alicyclic,
aromatic or heterocyclic)

(Cf. Arts. 83, 84 EPC, Rule 45 EPC, Guidelines Exam. Part B,
Chapt. III, 3.6, 3.7)



European Patent
Office

PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention
shall be considered, for the purposes of subsequent
proceedings, as the European search report

EP 92 11 3284.
Page 1

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 5)
D,X	JOURNAL OF MEDICINAL CHEMISTRY. vol. 33, no. 6, 1990, WASHINGTON US pages 1729 - 1735 * the whole document * ---	1,3,6-10	C07D207/16 C07D211/76 A61K37/64 C07D401/06 C07D403/06 C07D409/06 C07D417/06 C07K5/06 C07K5/08 A61K31/40
D,X	JOURNAL OF MEDICINAL CHEMISTRY. vol. 33, no. 1, 1990, WASHINGTON US pages 86 - 93 * the whole document * ---	1,3,6-10	
D,X	US-A-4 478 745 (BAJUSZ ET. AL.) 23 October 1984 * the whole document * ---	1,3,6-10	
D,X	US-A-4 399 065 (BAJUSZ ET. AL.) 16 August 1983 * the whole document * ---	1,3,6-10	
D,X	US-A-4 316 889 (BAJUSZ ET. AL.) 23 February 1982 * the whole document * ---	1,3,6-10	
		-/-	TECHNICAL FIELDS SEARCHED (Int. Cl. 5)
			C07D C07K
INCOMPLETE SEARCH			
<p>The Search Division considers that the present European patent application does not comply with the provisions of the European Patent Convention to such an extent that it is not possible to carry out a meaningful search into the state of the art on the basis of some of the claims.</p> <p>Claims searched completely : Claims searched incompletely : Claims not searched : Reasons for the limitation of the search :</p> <p>see sheet C</p>			
Place of search	Date of completion of the search	Examiner	
THE HAGUE	29 JANUARY 1993	Bernd Kissler	
CATEGORY OF CITED DOCUMENTS		T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons A : member of the same patent family, corresponding document	
X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document			



**European Patent
Office**

PARTIAL EUROPEAN SEARCH REPORT

Annotation Number

EP 92 11 3284.
Page 2

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int. Cl. 5)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
D, X	US-A-4 703 036 (BAJUSZ ET. AL.) 27 October 1987 * the whole document * -----	1,3,6-10	
P, X	GB-A-2 244 994 (RICHTER GEDEON) 18 December 1991 * the whole document * -----	1,3,6-10	
P, X	EP-A-0 479 489 (ELI LILLY) 8 April 1992 * the whole document * -----	1,3,6-10	
			TECHNICAL FIELDS SEARCHED (Int. Cl. 5)